

=> d his

(FILE 'HOME' ENTERED AT 18:25:27 ON 13 MAR 2003)

FILE 'REGISTRY' ENTERED AT 18:29:09 ON 13 MAR 2003
 L1 173 S 284.124.1/RID → ring =
 L2 45 S L1 AND NRS=1 # ring systems = 1
 L3 3 S L2 AND O>6
 L4 1 S L3 AND C38 H59 N7 O12 S2/MF ← specie



FILE 'HCAPLUS' ENTERED AT 18:43:51 ON 13 MAR 2003
 L5 1 S L4 1 cite

FILE 'USPATFULL' ENTERED AT 18:44:14 ON 13 MAR 2003
 L6 1 S L4 1 patent (pub.)

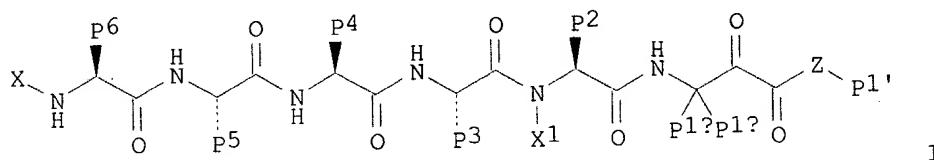
=> d ibib abs hitrn 15

L5 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:90074 HCAPLUS
 DOCUMENT NUMBER: 136:151440
 TITLE: Preparation of novel peptides as NS3-serine protease
 inhibitors of hepatitis C virus
 INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil;
 Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank;
 McCormick, Jinping; Wang, Haiyan; Pike, Russell E.;
 Bogen, Stephane L.; Liu, Yi-Tsung; Arasappan, Ashok;
 Parekh, Tejal; Pinto, Patrick A.; Njoroge, F. George;
 Ganguly, Ashit K.; Brunck, Terence K.; Kemp, Scott
 Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita
 PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.
 SOURCE: PCT Int. Appl., 197 pp.
 CODEN: PIXXD2

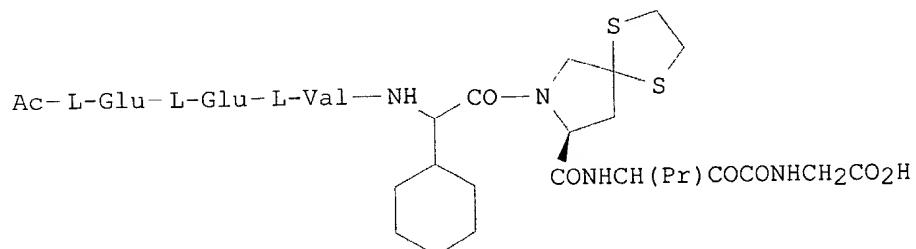
A

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008256	A2	20020131	WO 2001-US22826	20010719
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003036501	A1	20030220	US 2001-909062	20010719
PRIORITY APPLN. INFO.:			US 2000-220109P	P 20000721
OTHER SOURCE(S):		MARPAT 136:151440		
GI				



I



II

AB Novel peptides I [Z = O, NH or substituted imino; X = (un)substituted alkylsulfonyl, heterocyclalkylsulfonyl, heterocyclalkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, alkylcarbonyl, heterocyclcarbonyl, heterocyclalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxy carbonyl, heterocyclloxy carbonyl, aryloxy carbonyl, heteroaryloxy carbonyl, alkyaminocarbonyl, heterocyclaminocarbonyl, heteroaryloxy carbonyl, alkyaminocarbonyl, heteroaryloxy carbonyl; X1 = H, alkyl, arylmethyl; arylaminocarbonyl, or heteroaryloxy carbonyl; X1 = H, alkyl, arylmethyl; P1a, P1b, P2-P6 = H, (un)substituted alkyl, alkenyl, cycloalkyl, heterocycl, cycloalkylalkyl, heterocyclalkyl, aryl, heteroaryl, arylalkyl, or heteroarylalkyl; P1a and P1b may optionally be joined to each other to form a spirocyclic or spiroheterocyclic ring contg. 0-6 each other to form a spirocyclic or spiroheterocyclic ring contg. 0-6 oxygen, nitrogen, sulfur, or phosphorus atoms; P1' = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocycl, heterocyclalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl] having HCV protease inhibitory activity are disclosed. Thus, peptide II was prepd. via peptide coupling in soln. and showed Ki = 1-100 nM for inhibition of HCV protease.

IT 393520-91-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

=> d ibib abs hitrn 16

L6 ANSWER 1 OF 1 USPATFULL
 ACCESSION NUMBER: 2003:51543 USPATFULL
 TITLE: Novel peptides as NS3-serine protease inhibitors of hepatitis C virus
 INVENTOR(S): Saksena, Anil K., Upper Montclair, NJ, UNITED STATES
 Girijavallabhan, Viyyoor Moopil, Parsippany, NJ, UNITED STATES
 STATES
 Lovey, Raymond G., West Caldwell, NJ, UNITED STATES
 Jao, Edwin E., Warren, NJ, UNITED STATES
 Bennett, Frank, Piscataway, NJ, UNITED STATES
 McCormick, Jinping L., Edison, NJ, UNITED STATES
 Wang, Haiyan, Cranbury, NJ, UNITED STATES
 Pike, Russell E., Stanhope, NJ, UNITED STATES
 Bogen, Stephane L., Somerset, NJ, UNITED STATES
 Liu, Yi-Tsung, Morris Township, NJ, UNITED STATES
 Arasappan, Ashok, Bridgewater, NJ, UNITED STATES
 Parekh, Tejal, Mountain View, CA, UNITED STATES
 Pinto, Patrick A., Morris Plains, NJ, UNITED STATES
 Njoroge, F. George, Warren, NJ, UNITED STATES
 Ganguly, Ashit K., Upper Mountclair, NJ, UNITED STATES
 Brunck, Terence K., Santa Fe, NM, UNITED STATES
 Kemp, Scott Jeffrey, San Diego, CA, UNITED STATES
 Levy, Odile Esther, San Diego, CA, UNITED STATES
 Lim-Wilby, Marguerita, La Jolla, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003036501	A1	20030220
APPLICATION INFO.:	US 2001-909062	A1	20010719 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220109P	20000721 (60)

DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: SCHERING-PLough CORPORATION, PATENT DEPARTMENT (K-6-1,
 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ,
 07033-0530

NUMBER OF CLAIMS: 54
 EXEMPLARY CLAIM: 1
 LINE COUNT: 3298

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention discloses novel peptide compounds which have HCV protease inhibitory activity as well as methods for preparing such compounds. In another embodiment, the invention discloses pharmaceutical compositions comprising such peptides as well as methods of using them to treat disorders associated with the HCV protease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 393520-91-7P
 (prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

Specie

AUDET 09/909, 062

=> d ide

L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS

RN 393520-91-7 REGISTRY

CN 1,4-Dithia-7-azaspiro[4.4]nonane-8-carboxamide, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-valyl-L-valyl-N-[1-[oxo(2-propenylamino)acetyl]butyl]-, (8S)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

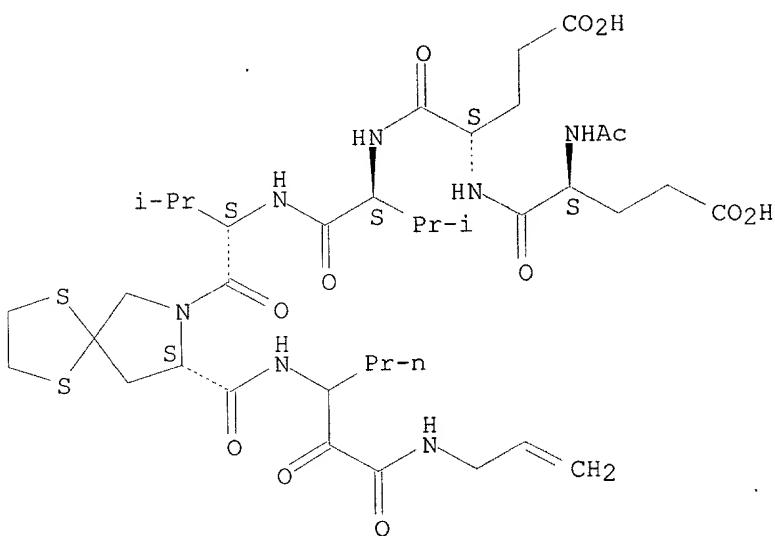
MF C38 H59 N7 O12 S2

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)

1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

cites from applicant

AUDET 09/909,062

=> d que 112

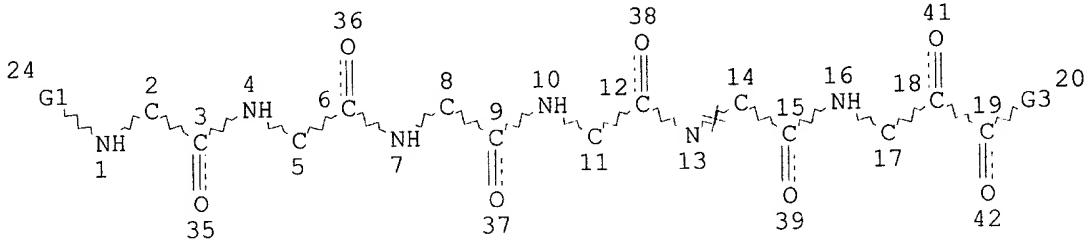
L3

STR

O=C
25 @26

O=S=O
27 @28 29

Same STR



VAR G1=26/28

VAR G3=O/N

NODE ATTRIBUTES:

CONNECT IS X3 RC AT 2
CONNECT IS X3 RC AT 5
CONNECT IS X3 RC AT 8
CONNECT IS X3 RC AT 11
CONNECT IS X3 RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

L5 480 SEA FILE=REGISTRY SSS FUL L3
L6 19 SEA FILE=HCAPLUS ABB=ON PLU=ON L5
L7 141 SEA FILE=HCAPLUS ABB=ON PLU=ON SAKSENA A?/AU
L10 189 SEA FILE=HCAPLUS ABB=ON PLU=ON GIRIJAVALLABHAN V?/AU
L11 257 SEA FILE=HCAPLUS ABB=ON PLU=ON L7 OR L10
L12 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND L6

=> d ibib abs 1-2

L23 HAS NO ANSWERS

'IBIB ABS IS NOT A VALID STRUCTURE FORMAT KEYWORD

Structure Formats

SIA ----- Structure Image, Attributes, and map table if it contains data. (Default)

SIM ----- Structure Image.

SAT ----- Structure Attributes and map table if it contains data.

SCT ----- Structure Connection Table and map table if it contains data.

SDA ----- All Structure Data (image, attributes, connection table and map table if it contains data).

NOS ----- NO Structure data.

ENTER STRUCTURE FORMAT (SIA), SCT, SDA, SIM, SAT, NOS:end

=> d ibib abs 1-2 112

L12 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:90074 HCAPLUS
DOCUMENT NUMBER: 136:151440

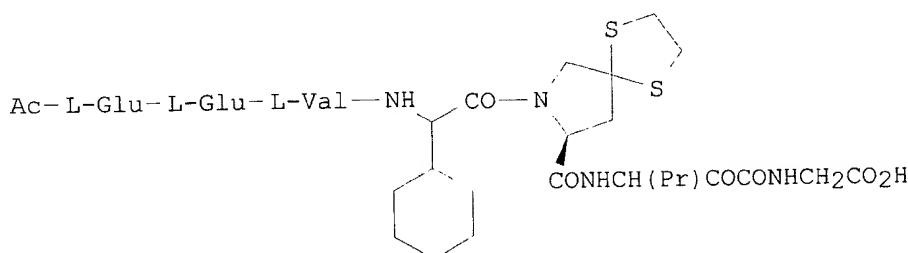
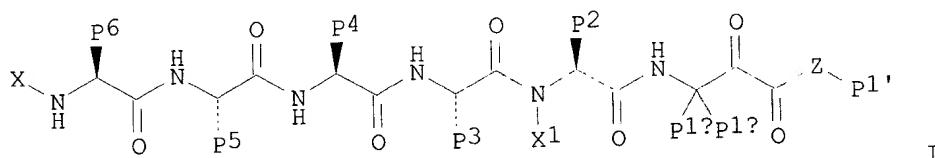
Bibliography
only

TITLE: Preparation of novel peptides as NS3-serine protease
 inhibitors of hepatitis C virus
 INVENTOR(S): Saksena, Anil K.; Girijavallabhan,
 Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.;
 Bennett, Frank; McCormick, Jinping; Wang, Haiyan;
 Pike, Russell E.; Bogen, Stephane L.; Liu, Yi-Tsung;
 Arasappan, Ashok; Parekh, Tejal; Pinto, Patrick A.;
 Njoroge, F. George; Ganguly, Ashit K.; Brunck, Terence
 K.; Kemp, Scott Jeffrey; Levy, Odile Esther;
 Lim-Wilby, Marguerita
 PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.
 SOURCE: PCT Int. Appl., 197 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008256	A2	20020131	WO 2001-US22826	20010719
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003036501	A1	20030220	US 2001-909062	20010719
PRIORITY APPLN. INFO.:			US 2000-220109P	P 20000721

OTHER SOURCE(S): MARPAT 136:151440

GI

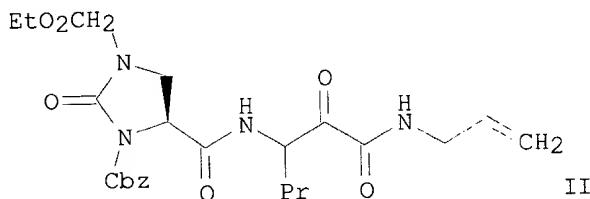
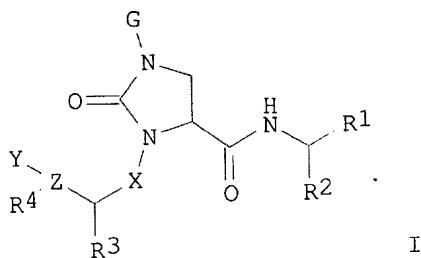


AB Novel peptides I [Z = O, NH or substituted imino; X = (un)substituted alkylsulfonyl, heterocyclsulfonyl, heterocyclalkylsulfonyl,

arylsulfonyl, heteroarylsulfonyl, alkylcarbonyl, heterocyclylcarbonyl, heterocyclylalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxy carbonyl, heterocycloloxy carbonyl, aryloxycarbonyl, heteroaryloxy carbonyl, alkyaminocarbonyl, heterocyclaminocarbonyl, arylaminocarbonyl, or heteroarylaminocarbonyl; X1 = H, alkyl, arylmethyl; P1a, P1b, P2-P6 = H, (un)substituted alkyl, alkenyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclalkyl, aryl, heteroaryl, arylalkyl, or heteroarylalkyl; P1a and P1b may optionally be joined to each other to form a spirocyclic or spiroheterocyclic ring contg. 0-6 oxygen, nitrogen, sulfur, or phosphorus atoms; P1' = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl] having HCV protease inhibitory activity are disclosed. Thus, peptide II was prep'd. via peptide coupling in soln. and showed Ki = 1-100 nM for inhibition of HCV protease.

L12 ANSWER 2 OF 2 HCPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:90018 HCPLUS
 DOCUMENT NUMBER: 136:135031
 TITLE: Preparation of novel imidazolidinones as NS3-serine protease inhibitors of hepatitis C virus
 INVENTOR(S): Arasappan, Ashok; Parekh, Tejal; Njoroge, F. George; Girijavallabhan, Viyyoor Moopil; Ganguly, Ashit K.
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: PCT Int. Appl., 88 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008198	A2	20020131	WO 2001-US22828	20010719
WO 2002008198	A3	20020718		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002102235	A1	20020801	US 2001-909077	20010719
PRIORITY APPLN. INFO.:			US 2000-220110P	P 20000721
OTHER SOURCE(S):		MARPAT 136:135031		
GI				



AB Novel imidazolidinones I [R1 = COR5 (R5 = H, OH, alkoxy, amino, CF3, etc.) or B(OR)3 (R = H, alkyl, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, etc.); Z = O, N or CH; X = CO, CS or alkylene; G = H, (un)substituted alkyl, aryl, heteroalkyl, heteroaryl, alkylaryl or alkylheteroaryl; R2, R3 = any group defined for R; R4 = null, H, alkyl, aryl; Y = H, (un)substituted alkyl, aryl, heteroalkyl, heteroaryl, cycloalkyl, arylalkyl, heteroarylalkyl, etc.], including enantiomers, stereoisomers, rotamers and tautomers, having HCV protease inhibitory activity are disclosed. Thus, compd. II (Cbz = benzyloxycarbonyl) was prep'd. via peptide coupling reaction of H2NCHPrCH(OH)CONHCH2CH:CH2.HCl (prepn. given), followed by Dess-Martin oxidn. of the hydroxy group. II showed Ki > 50,001 nM for inhibition of HCV protease.

=> d occ 112 1-2

L12 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2003 ACS

FIELD	COUNT
AU	2
IT	184

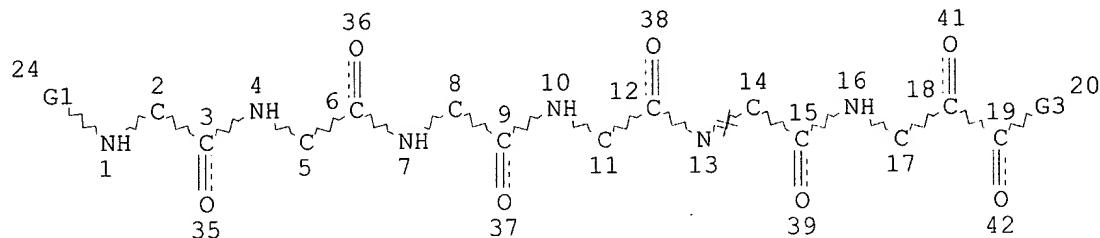
L12 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2003 ACS

FIELD	COUNT
AU	1
IT	11

> d que 120

L3

STR

O---C
25 @26O---S---O
27 @28 29

VAR G1=26/28

VAR G3=O/N

NODE ATTRIBUTES:

CONNECT IS X3 RC AT 2
 CONNECT IS X3 RC AT 5
 CONNECT IS X3 RC AT 8
 CONNECT IS X3 RC AT 11
 CONNECT IS X3 RC AT 14
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

L5 480 SEA FILE=REGISTRY SSS FUL L3 480 qd
 L14 79 SEA FILE=REGISTRY ABB=ON PLU=ON (393513-18-3/BI OR 109425-49-
 2/BI OR 135112-28-6/BI OR 149885-80-3/BI OR 150908-38-6/BI OR
 151275-26-2/BI OR 276888-16-5/BI OR 276888-17-6/BI OR 276888-38
 -1/BI OR 276888-45-0/BI OR 276888-55-2/BI OR 276888-56-3/BI OR
 276888-57-4/BI OR 2999-46-4/BI OR 36791-04-5/BI OR 393512-68-0/
 BI OR 393512-69-1/BI OR 393512-70-4/BI OR 393512-71-5/BI OR
 393512-72-6/BI OR 393512-73-7/BI OR 393512-75-9/BI OR 393512-76
 -0/BI OR 393512-77-1/BI OR 393512-78-2/BI OR 393512-79-3/BI OR
 393512-80-6/BI OR 393512-81-7/BI OR 393512-82-8/BI OR 393512-83
 -9/BI OR 393512-84-0/BI OR 393512-85-1/BI OR 393512-86-2/BI OR
 393512-87-3/BI OR 393512-88-4/BI OR 393512-89-5/BI OR 393512-90
 -8/BI OR 393512-91-9/BI OR 393512-92-0/BI OR 393512-93-1/BI OR
 393512-94-2/BI OR 393512-95-3/BI OR 393512-96-4/BI OR 393512-97
 -5/BI OR 393512-98-6/BI OR 393512-99-7/BI OR 393513-00-3/BI OR
 393513-01-4/BI OR 393513-02-5/BI OR 393513-03-6/BI OR 393513-04
 -7/BI OR 393513-05-8/BI OR 393513-06-9/BI OR 393513-07-0/BI OR
 393513-08-1/BI OR 393513-09-2/BI OR 393513-10-5/BI OR 393513-11
 -6/BI OR 393513-12-7/BI OR 393513-13-8/BI OR 393513-14-9/BI OR
 393513-15-0/BI OR 393513-16-1/BI OR 393513-17-2/BI OR 393513-19
 -4/BI OR 393513-20-7/BI OR 393513-21-8/BI OR 393513-22-9/BI OR
 393513-23-0/BI OR 393513-24-1/BI OR 58948-98-4/BI OR 71989-18-9
 /BI OR 71989-28-1/BI OR 71989-33-8/BI OR 71989-38-3/BI OR
 76-05-1/BI OR 76203-43-5/BI OR 870-46-2/BI OR 91-00-9/BI)

L15
L20

→ 49 SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND L5 ← 49 fit + STR
 ↗ 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 ← cites are only in
 this citation

=> d 120 bib

L20 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS
 AN 2002:90069 HCAPLUS
 DN 136:145200
 TI Novel peptides as ns3-serine protease inhibitors of hepatitis C virus
 IN Lim-Wilby, Marguerita; Levy, Odile E.; Brunck, Terrence K.
 PA Corvas International, Inc., USA
 SO PCT Int. Appl., 69 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008251	A2	20020131	WO 2001-US23169	20010719
	WO 2002008251	A3	20030109		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2002068702	A1	20020606	US 2001-909164	20010719
PRAI	US 2000-220101P	P	20000721		
OS	MARPAT	136:145200			